

EAST Search History

| Ref # | Hits | Search Query | DBs | Default Operator | Plurals | Time Stamp |
|-------|------|--|---|------------------|---------|------------------|
| L1 | 498 | loteprednol | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | OR | ON | 2007/04/20 13:12 |
| L2 | 295 | "loteprednol etabonate" | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | OR | ON | 2007/04/20 13:12 |
| L3 | 498 | L1 or L2 | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | OR | ON | 2007/04/20 13:13 |
| L4 | 5119 | salbutamol or reproterol or salmeterol or formoterol | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | OR | ON | 2007/04/20 13:13 |
| L5 | 116 | L3 and L4 | US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT | OR | ON | 2007/04/20 13:13 |

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NEWS 3 JAN 16 CA/Caplus Company Name Thesaurus enhanced and reloaded
NEWS 4 JAN 16 IPC version 2007.01 thesaurus available on STN
NEWS 5 JAN 16 WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS 6 JAN 22 CA/Caplus updated with revised CAS roles
NEWS 7 JAN 22 CA/Caplus enhanced with patent applications from India
NEWS 8 JAN 29 PHAR reloaded with new search and display fields
NEWS 9 JAN 29 CAS Registry Number crossover limit increased to 300,000 in
multiple databases
NEWS 10 FEB 15 PATDPASPC enhanced with Drug Approval numbers
NEWS 11 FEB 15 RUSSIAPAT enhanced with pre-1994 records
NEWS 12 FEB 23 KOREAPAT enhanced with IPC 8 features and functionality
NEWS 13 FEB 26 MEDLINE reloaded with enhancements
NEWS 14 FEB 26 EMBASE enhanced with Clinical Trial Number field
NEWS 15 FEB 26 TOXCENTER enhanced with reloaded MEDLINE
NEWS 16 FEB 26 IFICDB/IFIPAT/IFIUDB reloaded with enhancements
NEWS 17 FEB 26 CAS Registry Number crossover limit increased from 10,000
to 300,000 in multiple databases
NEWS 18 MAR 15 WPIDS/WPIX enhanced with new FRAGHITSTR display format
NEWS 19 MAR 16 CASREACT coverage extended
NEWS 20 MAR 20 MARPAT now updated daily
NEWS 21 MAR 22 LWPI reloaded
NEWS 22 MAR 30 RDISCLOSURE reloaded with enhancements
NEWS 23 MAR 30 INPADOCDB will replace INPADOC on STN
NEWS 24 APR 02 JICST-EPLUS removed from database clusters and STN

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

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FILE 'HOME' ENTERED AT 13:20:49 ON 20 APR 2007

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COST IN U.S. DOLLARS

| SINCE FILE | TOTAL |
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| ENTRY | SESSION |
| 1.05 | 1.05 |

FULL ESTIMATED COST

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FILE 'MEDLINE' ENTERED AT 13:23:34 ON 20 APR 2007

=> s loteprednol
L1 541 LOTEPRDNOL

=> s asthma
L2 297883 ASTHMA

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L3 52 L1 AND L2

=> dup rem L3
PROCESSING COMPLETED FOR L3
L4 32 DUP REM L3 (20 DUPLICATES REMOVED)

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L5 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:832575 CAPLUS
DOCUMENT NUMBER: 137:346196
TITLE: Treatment of respiratory and lung diseases with
antisense oligonucleotides and a bronchodilating agent
INVENTOR(S): Nyce, Jonathan W.; Li, Yukui; Sandrasagra, Anthony;
Katz, Evan; Pabalan, Jonathan; Aguilar, Douglas;
Miller, Shoreh; Tang, Lei; Shahabuddin, Syed
PATENT ASSIGNEE(S): Epigenesis Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 872 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|--------------|
| WO 2002085308 | A2 | 20021031 | WO 2002-US13135 | 20020423 <-- |
| WO 2002085308 | A3 | 20021219 | | |
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 WO 2002085308 A2 20021031 WO 2002-XB13135 20020423 <--
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 WO 2002085308 A2 20021031 WO 2002-XC13135 20020423 <--
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 AU 2002256359 A1 20021105 AU 2002-256359 20020423 <--
 US 2004049022 A1 20040311 US 2003-627930 20030725
 US 2007021360 A1 20070125 US 2004-475684 20040831 <--
 PRIORITY APPLN. INFO.: US 2001-286137P P 20010424 <--
 WO 2002-US13135 A 20020423
 WO 2002-US13143 A2 20020423

OTHER SOURCE(S): MARPAT 137:346196

AB This patent relates to a composition comprising a carrier, oligonucleotides (oligos) that are antisense to adenosine receptors, and contain low amts. of or no adenosine (A), plus bronchodilating agents. All antisense oligonucleotides designed in accordance with the invention were highly effective at countering or reducing effects mediated by the receptors to which they are targeted. Two antisense phosphorothioated oligos targeting human adenosine A1 receptor mRNA, one targeting adenosine A2b receptor, and two targeting an A3 receptor are capable of countering the effect of exogenously administered adenosine which is mediated by the specific receptor they are targeted to. The activity of the antisense oligos are specific to the target and substitutively fail to inhibit another target. An oligonucleotide wherein the phosphodiester bonds are substituted with phosphorothioate bonds evidenced an unexpected superiority over the phosphodiester antisense oligo. In addition, they result in extremely low or non-existent deleterious side effects or toxicity. This represents 100% success in providing agents that are highly effective and specific in the treatment of bronchoconstriction and/or inflammation. Treatment with antisense oligonucleotides in combination with anti-inflammatory steroid and/or ubiquinones is also provided. These agents and the composition and formulations provided are suitable for the treatment of respiratory tract,

pulmonary and malignant diseases associated with bronchoconstriction, respiratory tract inflammation and allergies, impaired airways, including lung disease and diseases whose secondary effects afflict the lungs of a subject, such as allergies, asthma, impeded respiration, allergic rhinitis, pain, cystic fibrosis, pulmonary fibrosis, RDA, COPD, and cancers, among others. The present agents and composition may be administered preventatively, prophylactically or therapeutically in conjunction with other therapies, or may be utilized as a substitute for therapies that have significant, neg. side effects. The method of the present invention is also practiced with antisense oligonucleotides targeted to many genes, mRNAs and their corresponding proteins in essential the same manner.

L5 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:414694 CAPLUS

DOCUMENT NUMBER: 133:261550

TITLE: Loteprednol etabonate: a soft steroid for the treatment of allergic diseases of the airways
AUTHOR(S): Szelenyi, Istvan; Hochhaus, Gunther; Heer, Sabine; Kusters, Sabine; Marx, Degenhard; Poppe, Hildegard; Engel, Jurgan

CORPORATE SOURCE: Pulmonary Pharmacology, Corporate Research & Development, ASTA Medica, Frankfurt and Dresden, Germany

SOURCE: Drugs of Today (2000), 36(5), 313-320

CODEN: MDACAP; ISSN: 0025-7656

PUBLISHER: Prous Science

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review with 58 refs. There are several approaches for developing new antiallergic/asthmatic agents. One of them is the improvement of an existing class of effective drug classes. Due to some undesired effects of intranasal or inhaled corticosteroids, there is a need for better tolerated corticosteroids. Loteprednol etabonate belongs to the so-called class of soft steroids because it is metabolized by a 1-step reaction (hydrolysis) without using the cytochrome P 450 monooxygenase system. In in vitro investigations in human cells, loteprednol inhibited the release of proinflammatory cytokines (e.g., TNF- α , GM-CSF, IL-4, IL-5) to an extent according to its relative binding potency to the glucocorticoid receptor. In in vivo animal studies, loteprednol effectively inhibited allergically induced vascular leakage in the nasal cavity of actively sensitized Brown Norway rats and rhinorrhea in actively sensitized domestic pigs following nasal challenge. In several models of allergic asthma, loteprednol was able to suppress the allergically induced late-phase eosinophilia in mice, rats and guinea pigs. After intrapulmonary administration of loteprednol, only a slight, nonsignificant reduction in thymus weight was observed in a dose range far less than the therapeutically relevant doses. Its therapeutic ratio is clearly superior to those of beclomethasone and budesonide. Loteprednol is a safe steroid with an extremely wide range between therapeutic and side-effect-inducing doses. Its elimination profile, its pronounced binding to plasma protein and erythrocytes and its low oral bioavailability makes this drug highly suitable for nasal or pulmonary use.

REFERENCE COUNT: 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 6 EMBASE COPYRIGHT (c) 2007 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 1999314468 EMBASE

TITLE: Therapeutic potential of phosphodiesterase 4 inhibitors in allergic diseases.

AUTHOR: Crocker I.C.; Townley R.G.

CORPORATE SOURCE: Dr. R.G. Townley, Dept. of Medicine/Allergy Division,

Creighton University, 2500 California Plaza, Omaha, NE
68178, United States

SOURCE: Drugs of Today, (1999) Vol. 35, No. 7, pp. 519-535. .
Refs: 137
ISSN: 0025-7656 CODEN: MDACAP

COUNTRY: Spain

DOCUMENT TYPE: Journal; General Review

FILE SEGMENT: 026 Immunology, Serology and Transplantation
030 Pharmacology
037 Drug Literature Index

LANGUAGE: English

SUMMARY LANGUAGE: English

ENTRY DATE: Entered STN: 27 Sep 1999
Last Updated on STN: 27 Sep 1999

AB Cyclic adenosine monophosphate (cAMP) is thought to be associated with inflammatory cell activity: high levels tend to decrease proliferation and cytokine secretion, whereas low concentrations have the opposite effect (1). Since many phosphodiesterases (PDEs) degrade cAMP, inhibitors of this enzyme decrease inflammatory cell activity. Theophylline, which has nonselective PDE inhibitor activity in addition to its other mechanisms of action, has been used in the treatment of asthma for many years. Unfortunately, because of the important role of PDEs in the cell, nonspecific inhibition of these enzymes causes many undesirable side effects. The discovery of PDE isoenzyme families (PDE1-PDE10), their subtypes (HPDE4 and LPDE4) and their differential distribution among the cell types, as well as their specific functions in controlling cell processes, has led to the development of new, specific PDE4 inhibitors. This review details the rationale for the use of PDE4 inhibitors in the treatment of allergic disease. In addition, the effects of PDE4 inhibitors in vitro, in preclinical animal models and in the clinic are covered. Finally, up-to-date information on the most recently developed inhibitors, such as SB-207499, CDP-840, AWD-12-281 and D-4418, is provided.

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ACCESSION NUMBER: 1999273042 EMBASE

TITLE: The ideal steroid.

AUTHOR: Brattsand R.

CORPORATE SOURCE: R. Brattsand, Astra Draco AB, Preclinical R and D, PO Box 34, S-221 00 Lund, Sweden

SOURCE: Pulmonary Pharmacology and Therapeutics, (1999) Vol. 12, No. 2, pp. 119-122. .
Refs: 19
ISSN: 1094-5539 CODEN: PPTHEJ

COUNTRY: United Kingdom

DOCUMENT TYPE: Journal; Conference Article

FILE SEGMENT: 015 Chest Diseases, Thoracic Surgery and Tuberculosis
030 Pharmacology
037 Drug Literature Index

LANGUAGE: English

ENTRY DATE: Entered STN: 19 Aug 1999
Last Updated on STN: 19 Aug 1999

DATA NOT AVAILABLE FOR THIS ACCESSION NUMBER

L5 ANSWER 5 OF 6 EMBASE COPYRIGHT (c) 2007 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 1999218575 EMBASE

TITLE: Allergies: New treatment options and studies.

AUTHOR: Evans Y.

CORPORATE SOURCE: Y. Evans, Univ. of Mississippi Hosp./Clinics, Jackson, MS, United States

SOURCE: Drug Topics, (7 Jun 1999) Vol. 143, No. 11 SUPPL., pp. 10s-15s. .

ISSN: 0012-6616 CODEN: DGTNA7

COUNTRY: United States

DOCUMENT TYPE: Journal; General Review

FILE SEGMENT: 006 Internal Medicine
 015 Chest Diseases, Thoracic Surgery and Tuberculosis
 026 Immunology, Serology and Transplantation
 037 Drug Literature Index
 038 Adverse Reactions Titles

LANGUAGE: English

SUMMARY LANGUAGE: English

ENTRY DATE: Entered STN: 8 Jul 1999
 Last Updated on STN: 8 Jul 1999

AB For years, antihistamines, decongestants, and corticosteroids have been the mainstay in treating allergic disorders. Today, the pharmacotherapy options are expanding, and more clinical trials are being conducted to determine the best treatments for the various allergic disorders. When chronic diseases, such as allergic disorders, affect one in five North Americans, it is important that pharmacists stay abreast of the treatment options that are available and under investigation.

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ACCESSION NUMBER: 1999056606 EMBASE

TITLE: New molecular entities approved in 1998.

SOURCE: Drug Topics, (1 Feb 1999) Vol. 143, No. 3, pp. 60-71. .
 ISSN: 0012-6616 CODEN: DGTNA7

COUNTRY: United States

DOCUMENT TYPE: Journal; Note

FILE SEGMENT: 037 Drug Literature Index
 038 Adverse Reactions Titles

LANGUAGE: English

ENTRY DATE: Entered STN: 19 Mar 1999
 Last Updated on STN: 19 Mar 1999

DATA NOT AVAILABLE FOR THIS ACCESSION NUMBER

=> s salbutamol or reproterol or salmeterol or formoterol

L6 43391 SALBUTAMOL OR REPROTEROL OR SALMETEROL OR FORMOTEROL

=> s L1 and L6

L7 22 L1 AND L6

=> dup rem L7

PROCESSING COMPLETED FOR L7

L8 22 DUP REM L7 (0 DUPLICATES REMOVED)

=> s L8 and (AY<2002 or PY<2002 or PRY<2002)

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L9 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:832575 CAPLUS

DOCUMENT NUMBER: 137:346196

TITLE: Treatment of respiratory and lung diseases with antisense oligonucleotides and a bronchodilating agent

INVENTOR(S): Nyce, Jonathan W.; Li, Yukui; Sandrasagra, Anthony; Katz, Evan; Pabalan, Jonathan; Aguilar, Douglas;

PATENT ASSIGNEE(S): Miller, Shoreh; Tang, Lei; Shahabuddin, Syed
 SOURCE: Epigenesis Pharmaceuticals, Inc., USA
 PCT Int. Appl., 872 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| US 2007021360 | A1 | 20070125 | US 2004-475684 | 20040831 <-- |
| PRIORITY APPLN. INFO.: | | | US 2001-286137P | P 20010424 <-- |
| | | | WO 2002-US13135 | A 20020423 |
| | | | WO 2002-US13143 | A2 20020423 |

OTHER SOURCE(S): MARPAT 137:346196

AB This patent relates to a composition comprising a carrier, oligonucleotides (oligos) that are antisense to adenosine receptors, and contain low amts. of or no adenosine (A), plus bronchodilating agents. All antisense oligonucleotides designed in accordance with the invention were highly effective at countering or reducing effects mediated by the receptors to

which they are targeted. Two antisense phosphorothioated oligos targeting human adenosine A1 receptor mRNA, one targeting adenosine A2b receptor, and two targeting an A3 receptor are capable of countering the effect of exogenously administered adenosine which is mediated by the specific receptor they are targeted to. The activity of the antisense oligos are specific to the target and substitutively fail to inhibit another target. An oligonucleotide wherein the phosphodiester bonds are substituted with phosphorothioate bonds evidenced an unexpected superiority over the phosphodiester antisense oligo. In addition, they result in extremely low or non-existent deleterious side effects or toxicity. This represents 100% success in providing agents that are highly effective and specific in the treatment of bronchoconstriction and/or inflammation. Treatment with antisense oligonucleotides in combination with anti-inflammatory steroid and/or ubiquinones is also provided. These agents and the composition and formulations provided are suitable for the treatment of respiratory tract, pulmonary and malignant diseases associated with bronchoconstriction, respiratory tract inflammation and allergies, impaired airways, including lung disease and diseases whose secondary effects afflict the lungs of a subject, such as allergies, asthma, impeded respiration, allergic rhinitis, pain, cystic fibrosis, pulmonary fibrosis, RDA, COPD, and cancers, among others. The present agents and composition may be administered preventatively, prophylactically or therapeutically in conjunction with other therapies, or may be utilized as a substitute for therapies that have significant, neg. side effects. The method of the present invention is also practiced with antisense oligonucleotides targeted to many genes, mRNAs and their corresponding proteins in essential the same manner.

L9 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:777694 CAPLUS

DOCUMENT NUMBER: 137:284361

TITLE: Drug delivery aerosols containing hydrofluoroalkanes and solid excipients

INVENTOR(S): Mueller-Walz, Rudi; Niederlaender, Carsten

PATENT ASSIGNEE(S): Jago Research A.-G., Switz.

SOURCE: PCT Int. Appl., 42 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|--|--------------|
| WO 2002078671 | A1 | 20021010 | WO 2002-CH145 | 20020311 <-- |
| W: | | | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | |
| RW: | | | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | |
| CA 2442415 | A1 | 20021010 | CA 2002-2442415 | 20020311 <-- |
| AU 2002234476 | A1 | 20021015 | AU 2002-234476 | 20020311 <-- |
| EP 1372608 | A1 | 20040102 | EP 2002-701145 | 20020311 <-- |
| R: | | | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | |
| CN 1499958 | A | 20040526 | CN 2002-807382 | 20020311 <-- |
| NZ 528640 | A | 20040625 | NZ 2002-528640 | 20020311 <-- |
| JP 2004525148 | T | 20040819 | JP 2002-576937 | 20020311 <-- |
| HU 200401250 | A2 | 20041129 | HU 2004-1250 | 20020311 <-- |
| RO 121172 | B1 | 20070130 | RO 2003-799 | 20020311 <-- |
| RU 2294737 | C2 | 20070310 | RU 2003-131676 | 20020311 <-- |

| | | | | |
|------------------------|----|----------|----------------|----------------|
| IN 2003KN01142 | A | 20051014 | IN 2003-KN1142 | 20030909 <-- |
| ZA 2003007161 | A | 20041123 | ZA 2003-7161 | 20030912 <-- |
| NO 2003004323 | A | 20030926 | NO 2003-4323 | 20030926 <-- |
| US 2004101483 | A1 | 20040527 | US 2003-473874 | 20030930 <-- |
| PRIORITY APPLN. INFO.: | | | CH 2001-601 | A 20010330 <-- |
| | | | CH 2001-1527 | A 20010820 <-- |
| | | | WO 2002-CH145 | W 20020311 |

OTHER SOURCE(S): MARPAT 137:284361

AB The invention concerns drug delivery systems in form of aerosols that contain the active substance, the palmitates and stearates of calcium, magnesium and zinc as solid excipients, and hydrofluoroalkanes. Thus 24.96 g micronized budesonide and 3.12 g magnesium stearate were weighed in to a pressure vessel and filled with 7.8 kg HFA 134a. After homogenization the suspension was filled into aluminum inhalers.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:293418 CAPLUS

DOCUMENT NUMBER: 136:330549

TITLE: Topical antibiotic composition for treatment of eye infection

INVENTOR(S): Bandyopadhyay, Rebanta; Secreast, Pamela J.; Hawley, Leslie C.; McCurdy, Vincent E.; Tyle, Praveen; Bandyopadhyay, Paramita; Singh, Satish K.

PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA

SOURCE: PCT Int. Appl., 41 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|--|----------------|
| WO 2002030395 | A1 | 20020418 | WO 2001-US31590 | 20011010 <-- |
| W: | | | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU | |
| RW: | | | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | |
| CA 2424444 | A1 | 20020418 | CA 2001-2424444 | 20011010 <-- |
| AU 200196753 | A | 20020422 | AU 2001-96753 | 20011010 <-- |
| EP 1324748 | A1 | 20030709 | EP 2001-977651 | 20011010 <-- |
| R: | | | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | |
| JP 2004510809 | T | 20040408 | JP 2002-533838 | 20011010 <-- |
| PRIORITY APPLN. INFO.: | | | US 2000-239136P | P 20001010 <-- |
| | | | US 2001-285340P | P 20010420 <-- |
| | | | WO 2001-US31590 | W 20011010 <-- |

OTHER SOURCE(S): MARPAT 136:330549

AB There is provided a pharmaceutical composition suitable for topical administration to an eye, the composition comprising as active agent one or more oxazolidinone antibacterial drugs, for example linezolid, in a concentration effective for treatment and/or prophylaxis of a gram-pos. bacterial infection of the eye, and one or more ophthalmically acceptable excipient ingredients that reduce rate of removal of the composition from the eye by lacrimation such that the composition has an effective residence time in the eye of about 2 to about 24 h. The composition is, for example, an in situ

gellable solution, suspension or solution/suspension. Formulations containing

a gelling or mucoadhesive agent (xanthan gum, HPMC, poloxamer 407, and polycarbophil) resulted in significant amts. of linezolid being retained in the exterior of treated eyes 1 h or more after application.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:71873 CAPLUS

DOCUMENT NUMBER: 136:123671

TITLE: Ophthalmic formulation of a selective cyclooxygenase-2 inhibitory drug

INVENTOR(S): Kararli, Tugrul T.; Bandyopadhyay, Rebanta; Singh, Satish K.; Hawley, Leslie C.

PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA

SOURCE: PCT Int. Appl., 71 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|----------------|
| WO 2002005815 | A1 | 20020124 | WO 2001-US22061 | 20010712 <-- |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | |
| CA 2414780 | A1 | 20020124 | CA 2001-2414780 | 20010712 <-- |
| AU 200175908 | A | 20020130 | AU 2001-75908 | 20010712 <-- |
| US 2002035264 | A1 | 20020321 | US 2001-904098 | 20010712 <-- |
| EP 1303271 | A1 | 20030423 | EP 2001-953462 | 20010712 <-- |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | |
| JP 2004528267 | T | 20040916 | JP 2002-511747 | 20010712 <-- |
| ZA 2003009298 | A | 20040512 | ZA 2003-9298 | 20031128 <-- |
| PRIORITY APPLN. INFO.: | | | US 2000-218101P | P 20000713 <-- |
| | | | US 2001-279285P | P 20010328 <-- |
| | | | US 2001-294838P | P 20010531 <-- |
| | | | US 2001-296388P | P 20010606 <-- |
| | | | WO 2001-US22061 | W 20010712 <-- |

OTHER SOURCE(S): MARPAT 136:123671

AB A pharmaceutical composition suitable for topical administration to an eye contains a selective COX-2 inhibitor or nanoparticles of a drug of low water solubility, at a concentration effective for the treatment and/or prophylaxis of a disorder in the eye, and 1 or more ophthalmically acceptable excipients that reduce rate of removal from the eye such that the composition has an effective residence time of 2-24 h. Also provided is a method of treating and/or preventing a disorder in an eye, the method comprising administering to the eye a composition of the invention. Thus, an ophthalmic nanoparticle suspension contained valdecoxib at 2.15 mg/g, 1.2% glycerin, 0.8% EDTA disodium salt, 4.0% Gelcarin GP-379NF, 0.21% SeaSpem PF and 0.82% Povidone.

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:247172 CAPLUS
DOCUMENT NUMBER: 134:256899
TITLE: Combination of loteprednol and
 β 2-adrenoceptor agonists for the treatment of
allergies and respiratory tract diseases
INVENTOR(S): Szelenyi, Istvan; Poppe, Hildegard; Heer, Sabine;
Engel, Juergen
PATENT ASSIGNEE(S): Asta Medica Ag, Germany
SOURCE: PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|------------------|--------------|
| WO 2001022956 | A2 | 20010405 | WO 2000-EP9392 | 20000926 <-- |
| WO 2001022956 | A3 | 20011011 | | |
| W: AU, BG, BR, BY, CA, CN, CZ, DZ, EE, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LT, LV, MK, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, UZ, YU, ZA, AM, AZ, MD, TJ, TM RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| DE 19947235 | A1 | 20010405 | DE 1999-19947235 | 19990930 <-- |
| CA 2389111 | A1 | 20010405 | CA 2000-2389111 | 20000926 <-- |
| AU 200079074 | A | 20010430 | AU 2000-79074 | 20000926 <-- |
| BR 2000014374 | A | 20020625 | BR 2000-14374 | 20000926 <-- |
| EP 1216047 | A2 | 20020626 | EP 2000-969304 | 20000926 <-- |
| EP 1216047 | B1 | 20051012 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL | | | | |
| HU 200202753 | A2 | 20021228 | HU 2002-2753 | 20000926 <-- |
| JP 2003510276 | T | 20030318 | JP 2001-526168 | 20000926 <-- |
| EE 200200163 | A | 20030415 | EE 2002-163 | 20000926 <-- |
| AT 306271 | T | 20051015 | AT 2000-969304 | 20000926 <-- |
| CZ 296396 | B6 | 20060315 | CZ 2002-1095 | 20000926 <-- |
| ES 2248131 | T3 | 20060316 | ES 2000-969304 | 20000926 <-- |
| TW 253930 | B | 20060501 | TW 2000-89119863 | 20000926 <-- |
| PRIORITY APPLN. INFO.: DE 1999-19947235 A 19990930 <-- WO 2000-EP9392 W 20000926 <-- | | | | |

AB The invention relates to a novel combination of a soft steroid, especially loteprednol, and at least one β 2-adrenoceptor agonist for treating allergies and/or respiratory tract diseases simultaneously, sequentially or sep.; to drugs containing said combination, to methods for producing such drugs and to the use of the novel combination for producing drugs for the simultaneous, sequential or sep. treatment of allergies and/or respiratory tract diseases. Thus an aerosol was prepared that contained 6 μ g formoterol fumarate dihydrate and 200 μ g loteprednol per stroke. 2H-heptafluoropropane (1.000 g) propellant was cooled to -55°C and 11.7 g Tagat TO in 11.7 g ethanol was added under stirring, followed by the addition of 3.34 g micronized loteprednol etabonate and 0.1 g formoterol fumarate dihydrate. The suspension was diluted with 1,170.0 g 2H-heptafluoropropane, filled in metal containers with valves for dosing 50 μ L suspension per stroke.

L9 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:351357 CAPLUS
DOCUMENT NUMBER: 133:9107
TITLE: Dry powder for inhalation
INVENTOR(S): Keller, Manfred; Mueller-Walz, Rudi
PATENT ASSIGNEE(S): Skyepharma A.-G., Switz.

SOURCE: PCT Int. Appl., 44 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|--------------|
| WO 2000028979 | A1 | 20000525 | WO 1999-CH528 | 19991110 <-- |
| W: AU, CA, CN, CZ, HU, IN, JP, NO, NZ, PL, RO, RU, SK, US, ZA | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| CA 2347856 | A1 | 20000525 | CA 1999-2347856 | 19991110 <-- |
| AU 9964578 | A | 20000605 | AU 1999-64578 | 19991110 <-- |
| AU 756852 | B2 | 20030123 | | |
| EP 1131059 | A1 | 20010912 | EP 1999-952212 | 19991110 <-- |
| EP 1131059 | B1 | 20030305 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO | | | | |
| HU 200104226 | A2 | 20020228 | HU 2001-4226 | 19991110 <-- |
| JP 2002529498 | T | 20020910 | JP 2000-582027 | 19991110 <-- |
| NZ 511527 | A | 20021025 | NZ 1999-511527 | 19991110 <-- |
| EP 1283036 | A1 | 20030212 | EP 2002-25796 | 19991110 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY | | | | |
| AT 233550 | T | 20030315 | AT 1999-952212 | 19991110 <-- |
| PT 1131059 | T | 20030731 | PT 1999-952212 | 19991110 <-- |
| ES 2192866 | T3 | 20031016 | ES 1999-952212 | 19991110 <-- |
| RU 2221552 | C2 | 20040120 | RU 2001-116074 | 19991110 <-- |
| SK 284889 | B6 | 20060202 | SK 2001-632 | 19991110 <-- |
| IN 2001KN00479 | A | 20060324 | IN 2001-KN479 | 20010501 <-- |
| ZA 2001003627 | A | 20010509 | ZA 2001-3627 | 20010504 <-- |
| NO 2001002346 | A | 20010626 | NO 2001-2346 | 20010511 <-- |
| US 6645466 | B1 | 20031111 | US 2001-831011 | 20010809 <-- |
| US 2004202616 | A1 | 20041014 | US 2003-628965 | 20030728 <-- |
| US 7186401 | B2 | 20070306 | | |

PRIORITY APPLN. INFO.:
 CH 1998-2286 A 19981113 <--
 EP 1999-952212 A3 19991110 <--
 WO 1999-CH528 W 19991110 <--
 US 2001-831011 A1 20010809 <--

AB The moisture resistance of dry powder formulations for inhalation, which contain a pharmaceutically inert carrier of noninhalable particle size and a finely divided pharmaceutical substance of inhalable particle size, is improved and the storage stability of the formulations is increased by adding Mg stearate to minimize the deleterious effect of moisture on fine particle dose and fine particle fraction even under relatively extreme temperature and humidity conditions. Thus, 198.46 g lactose-H₂O (particle size 100% <200 µm, 50% <125 µm, 10% <75 µm) was mixed with 1 g sieved Mg stearate, then with 0.54 g formoterol fumarate-2H₂O, and loaded into a multidose dry powder inhaler.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:116874 CAPLUS
 DOCUMENT NUMBER: 132:156861
 TITLE: Medicinal aerosol formulations
 INVENTOR(S): Keller, Manfred; Herzog, Kurt; Mueller-Walz, Rudi; Kraus, Holger
 PATENT ASSIGNEE(S): Jago Research A.-G., Switz.
 SOURCE: PCT Int. Appl., 36 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent

LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|--------------|
| WO 2000007567 | A1 | 20000217 | WO 1999-CH360 | 19990802 <-- |
| W: AU, CA, CN, IN, JP, NO, NZ, US, ZA | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| CA 2338680 | A1 | 20000217 | CA 1999-2338680 | 19990802 <-- |
| AU 9948939 | A | 20000228 | AU 1999-48939 | 19990802 <-- |
| AU 749697 | B2 | 20020704 | | |
| EP 1102579 | A1 | 20010530 | EP 1999-932599 | 19990802 <-- |
| EP 1102579 | B1 | 20030319 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2002522374 | T | 20020723 | JP 2000-563253 | 19990802 <-- |
| NZ 509489 | A | 20021025 | NZ 1999-509489 | 19990802 <-- |
| AT 234604 | T | 20030415 | AT 1999-932599 | 19990802 <-- |
| PT 1102579 | T | 20030731 | PT 1999-932599 | 19990802 <-- |
| ES 2193726 | T3 | 20031101 | ES 1999-932599 | 19990802 <-- |
| IN 2001KN00067 | A | 20050624 | IN 2001-KN67 | 20010116 <-- |
| ZA 2001000569 | A | 20010730 | ZA 2001-569 | 20010119 <-- |
| NO 2001000531 | A | 20010131 | NO 2001-531 | 20010131 <-- |
| US 6475467 | B1 | 20021105 | US 2001-744798 | 20010420 <-- |

PRIORITY APPLN. INFO.:

CH 1998-1633 A 19980804 <--
WO 1999-CH360 W 19990802 <--

AB Pharmaceutically acceptable solid salts containing cromoglycic acid and/or nedocromil as a vehicle, at concns. which are not therapeutically and prophylactically active, are used in suspension aerosol formulations of pharmaceutical active ingredients in fluoroalkane propellants to improve the dispersion characteristics, increase the phys. and chemical stability of moisture-sensitive active ingredients, allow for accurate dosing of active ingredients even at low dosage, and generally eliminate the need for surface-active agents. Thus, 6 g micronized formoterol fumarate and 12 g micronized di-Na cromoglycate were mixed in an evacuated vessel with fluoroalkane HFA 134a 35, HFA 227 35 kg, and EtOH 3 weight%, and the suspension was homogenized and dispensed into Al vials equipped with dosing valves.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:548517 CAPLUS

DOCUMENT NUMBER: 129:166237

TITLE: Fluorocarbon propellants for medical aerosol formulations

INVENTOR(S): Keller, Manfred; Herzog, Kurt

PATENT ASSIGNEE(S): Jago Pharma A.-G., Switz.

SOURCE: PCT Int. Appl., 47 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|--------------|
| WO 9834595 | A1 | 19980813 | WO 1998-CH37 | 19980202 <-- |
| W: AU, CA, JP, NO, NZ, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| CA 2280099 | A1 | 19980813 | CA 1998-2280099 | 19980202 <-- |
| CA 2280099 | C | 20051227 | | |

| | | | | |
|---|----|----------|----------------|----------------|
| AU 9856496 | A | 19980826 | AU 1998-56496 | 19980202 <-- |
| AU 718967 | B2 | 20000504 | | |
| EP 1014943 | A1 | 20000705 | EP 1998-900837 | 19980202 <-- |
| EP 1014943 | B1 | 20020619 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | | | | |
| NZ 337065 | A | 20010223 | NZ 1998-337065 | 19980202 <-- |
| JP 2001511160 | T | 20010807 | JP 1998-533479 | 19980202 <-- |
| AT 219355 | T | 20020715 | AT 1998-900837 | 19980202 <-- |
| PT 1014943 | T | 20021129 | PT 1998-900837 | 19980202 <-- |
| ES 2178817 | T3 | 20030101 | ES 1998-900837 | 19980202 <-- |
| ZA 9800937 | A | 19980806 | ZA 1998-937 | 19980205 <-- |
| NO 9903773 | A | 19991004 | NO 1999-3773 | 19990804 <-- |
| US 6461591 | B1 | 20021008 | US 1999-355883 | 19990804 <-- |
| PRIORITY APPLN. INFO.: | | | CH 1997-248 | A 19970205 <-- |
| | | | WO 1998-CH37 | W 19980202 <-- |

AB A pressure-liquefied propellant mixture for aerosols comprising a fluoridated alkane [especially 1,1,1,2-tetrafluoroethane and/or 1,1,1,2,3,3,3-heptafluoropropane (HFA 227)] and CO₂ improves the wetting properties for pharmaceutical active substances, whereby existing formulation problems with hydrofluoroalkanes in suspension and solution aerosols can be overcome and improved medical aerosol formulations can be obtained. By using CO₂, the pressure and hence the particle size distribution can be influenced in a targeted manner, and by removing O₂ from the hydrofluoroalkanes the stability during storage of oxidation-sensitive active substances can be improved. Thus, 1.5 kg HFA 227 was gassed with CO₂ and added at 6.5 bar and 20° to a solution of beclomethasone dipropionate 2.5 and oleic acid 0.25 in EtOH 55 g in a pressurized vessel; the mixture was dispensed into Al aerosol canisters. The mean aerodynamic particle diameter and fine particle dose per stroke of the dosing valve were .apprx.1.3 µm and 61.5 µg, resp., immediately after filling the canisters; after 6 mo storage at 30° and 70% relative humidity, these values were .apprx.1.3 µm and 71.8 µg, resp.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 9 OF 9 EMBASE COPYRIGHT (c) 2007 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 2000019210 EMBASE
 TITLE: Soft drug design: General principles and recent applications.
 AUTHOR: Bodor N.; Buchwäld P.
 CORPORATE SOURCE: N. Bodor, Center for Drug Discovery, University of Florida, Health Science Center, P.O. Box 100497, Gainesville, FL 32610-0497, United States
 SOURCE: Medicinal Research Reviews, (2000) Vol. 20, No. 1, pp. 58-101. .
 Refs: 208
 ISSN: 0198-6325 CODEN: MRREDD
 COUNTRY: United States
 DOCUMENT TYPE: Journal; General Review
 FILE SEGMENT: 037 Drug Literature Index
 LANGUAGE: English
 SUMMARY LANGUAGE: English
 ENTRY DATE: Entered STN: 20 Jan 2000
 Last Updated on STN: 20 Jan 2000

AB Soft drug design represents a new approach aimed to design safer drugs with an increased therapeutic index by integrating metabolism considerations into the drug design process. Soft drugs are new therapeutic agents that undergo predictable metabolism to inactive metabolites after exerting their therapeutic effect. Hence, they are obtained by building into the molecule, in addition to the activity, the most desired way in which the molecule is to be deactivated and detoxified. In an attempt to systematize and summarize the related work

done in a number of laboratories, including ours, the present review presents an overview of the general soft drug design principles and provides a variety of specific examples to illustrate the concepts. A number of already marketed drugs, such as esmolol, remifentanyl, or loteprednol etabonate, resulted from the successful application of such design principles. Many other promising drug candidates are currently under investigation in a variety of fields including possible soft antimicrobials, anticholinergics, corticosteroids, β -blockers, analgetics, ACE inhibitors, antiarrhythmics, and others. Whenever possible, pharmacokinetic and pharmacodynamic properties are briefly summarized and compared to those of other compounds used in the same field.

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